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 NEWS  1          Web Page for STN Seminar Schedule - N. America
 NEWS  2  JUL 28  CA/CAplus patent coverage enhanced
 NEWS  3  JUL 28  EPFULL enhanced with additional legal status
                  information from the epoline Register
 NEWS  4  JUL 28  IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
 NEWS  5  JUL 28  STN Viewer performance improved
 NEWS  6  AUG 01  INPADOCDB and INPAFAMDB coverage enhanced
 NEWS  7  AUG 13  CA/CAplus enhanced with printed Chemical Abstracts
                  page images from 1967-1998
 NEWS  8  AUG 15  CAOLD to be discontinued on December 31, 2008
 NEWS  9  AUG 15  CAplus currency for Korean patents enhanced
 NEWS 10  AUG 27  CAS definition of basic patents expanded to ensure
                  comprehensive access to substance and sequence
                  information
 NEWS 11  SEP 18  Support for STN Express, Versions 6.01 and earlier,
                  to be discontinued
 NEWS 12  SEP 25  CA/CAplus current-awareness alert options enhanced
                  to accommodate supplemental CAS indexing of
                  exemplified prophetic substances
 NEWS 13  SEP 26  WPIDS, WPINDEX, and WPIX coverage of Chinese and
                  and Korean patents enhanced
 NEWS 14  SEP 29  IFICLS enhanced with new super search field
 NEWS 15  SEP 29  EMBASE and EMBAL enhanced with new search and
                  display fields
 NEWS 16  SEP 30  CAS patent coverage enhanced to include exemplified
                  prophetic substances identified in new Japanese-
                  language patents
 NEWS 17  OCT 07  EPFULL enhanced with full implementation of EPC2000
 NEWS 18  OCT 07  Multiple databases enhanced for more flexible patent
                  number searching
 NEWS 19  OCT 22  Current-awareness alert (SDI) setup and editing
                  enhanced
 NEWS 20  OCT 22  WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT
                  Applications
 NEWS 21  OCT 24  CHEMLIST enhanced with intermediate list of
                  pre-registered REACH substances
 NEWS 22  NOV 21  CAS patent coverage to include exemplified prophetic
                  substances identified in English-, French-, German-,
                  and Japanese-language basic patents from 2004-present

 NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
              AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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FILE 'HOME' ENTERED AT 18:08:24 ON 24 NOV 2008

=> file reg
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.21       0.21

FILE 'REGISTRY' ENTERED AT 18:08:39 ON 24 NOV 2008
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DICTIONARY FILE UPDATES:  23 NOV 2008  HIGHEST RN 1074766-44-1

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on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10532836.str

L1      STRUCTURE UPLOADED

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L1              STR
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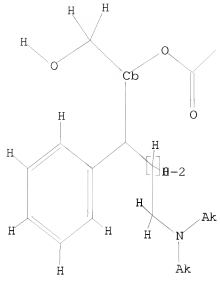

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED -    66940 TO ITERATE

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INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:      1323380 TO  1354220
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 18:09:01 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  1335013 TO ITERATE

 73.1% PROCESSED   975747 ITERATIONS                               36 ANSWERS

 74.9% PROCESSED  1000000 ITERATIONS                               36 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.26

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:      1335013 TO  1335013
PROJECTED ANSWERS:              36 TO       68

L3           36 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                178.82     179.03

FILE 'CAPLUS' ENTERED AT 18:09:41 ON 24 NOV 2008
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FILE COVERS 1907 - 24 Nov 2008 VOL 149 ISS 22
FILE LAST UPDATED: 23 Nov 2008 (20081123/ED)

Caplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

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=> s l3
L4   39 L3

=> file reg
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                                                        ENTRY   SESSION
FULL ESTIMATED COST   1.44   180.47

FILE 'REGISTRY' ENTERED AT 18:11:26 ON 24 NOV 2008
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STRUCTURE FILE UPDATES: 23 NOV 2008 HIGHEST RN 1074766-44-1
DICTIONARY FILE UPDATES: 23 NOV 2008 HIGHEST RN 1074766-44-1

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TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

  Please note that search-term pricing does apply when
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REGISTRY includes numerically searchable data for experimental and
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experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

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Uploading C:\Program Files\Stnexp\Queries\10532836-2.str

L5   STRUCTURE UPLOADED

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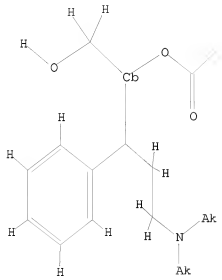
L5 HAS NO ANSWERS
L5 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l5 full
FULL SEARCH INITIATED 18:11:47 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 785132 TO ITERATE

98.3% PROCESSED 771739 ITERATIONS 36 ANSWERS

100.0% PROCESSED 785132 ITERATIONS 36 ANSWERS
SEARCH TIME: 00.00.25

L6 36 SEA SSS FUL L5

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 178.82 359.29

FILE 'CAPLUS' ENTERED AT 18:12:23 ON 24 NOV 2008
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FILE COVERS 1907 - 24 Nov 2008 VOL 149 ISS 22

FILE LAST UPDATED: 23 Nov 2008 (20081123/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

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=> s l6
L7 39 L6

=> d l7 ibib abs hitstr 1-
YOU HAVE REQUESTED DATA FROM 39 ANSWERS - CONTINUE? Y/(N):y

L7 ANSWER 1 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:1210834 CAPLUS
DOCUMENT NUMBER: 149:417766
TITLE: Combination therapy for the treatment-of lower urinary tract symptoms
INVENTOR(S): Frenkl, Tara; Green, Stuart A.; Macintyre, Euan; Mills, Sander G.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 35pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008121268	A1	20081009	WO 2008-US3873	20080325
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2007-920755P P 20070329

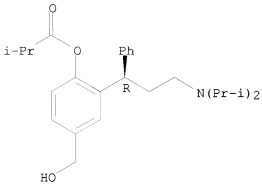
AB This invention concerns compns. for the treatment of Lower Urinary Tract Symptoms (LUTS), and especially LUTS which results from benign prostatic hypertrophy. The compns. of the invention comprise a Beta-3 agonist described below, optionally in combination with a 5-alpha reductase inhibitor, or an NK-1 antagonist or an alpha-1 adrenergic antagonist or an anti-muscarinic agent. The invention also includes compns. comprising a beta-3 agonist and two addnl. active agents selected from a 5-alpha reductase inhibitor, an NK-1 antagonist, an alpha-1 adrenergic antagonist or an anti-muscarinic agent.

IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy for treatment-of lower urinary tract symptoms)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:1102067 CAPLUS
DOCUMENT NUMBER: 149:347550
TITLE: Use of LHRH antagonists for the treatment of lower urinary tract symptoms, in particular overactive bladder and/or detrusor overactivity
INVENTOR(S): Engel, Juergen; Bauer, Oliver
PATENT ASSIGNEE(S): Aeterna Zentaris G.m.b.H., Germany
SOURCE: Eur. Pat. Appl., 18pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1967202	A1	20080910	EP 2007-103483	20070305
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
WO 2008107446	A1	20080912	WO 2008-EP52640	20080305
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: EP 2007-103483 A 20070305
US 2007-892899P P 20070305

AB The present invention provides at least one LHRH antagonist for use in the preparation of a medicament for the treatment or prophylaxis of at least one lower urinary tract symptom in mammals, wherein the at least one lower urinary tract symptom is selected from the group consisting of: "urinary incontinence, urge incontinence, overactive bladder, idiopathic overactive bladder, neurogenic overactive bladder, detrusor overactivity, idiopathic

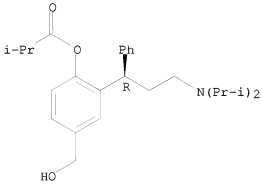
detrusor overactivity, neurogenic detrusor overactivity" and wherein the at least one LHRH antagonist is to be administered in an intermediate dose, which does not cause chemical (hormonal) castration.

IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of LHRH antagonists for treatment of lower urinary tract symptoms such as overactive bladder and/or detrusor overactivity without chemical castration and combination with other agents)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:1102066 CAPLUS

DOCUMENT NUMBER: 149:347549

TITLE: Use of LHRH antagonists for the treatment of lower urinary tract symptoms, in particular overactive bladder and/or detrusor overactivity

INVENTOR(S): Engel, Juergen; Bauer, Oliver

PATENT ASSIGNEE(S): Aeterna Zentaris G.m.b.H., Germany

SOURCE: PCT Int. Appl., 214pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008107446	A1	20080912	WO 2008-EP52640	20080305

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

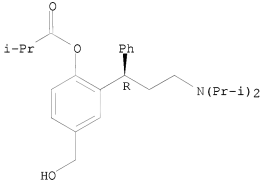
EP 1967202 A1 20080910 EP 2007-103483 20070305
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS
PRIORITY APPLN. INFO.: EP 2007-103483 A 20070305
US 2007-892899P P 20070305
OTHER SOURCE(S): MARPAT 149:347549

AB The present invention provides at least one LHRH antagonist for use in the preparation of a medicament for the treatment or prophylaxis of at least one lower urinary tract symptom in mammals, wherein the at least one lower urinary tract symptom is selected from the group consisting of: "urinary incontinence, urge incontinence, overactive bladder, idiopathic overactive bladder, neurogenic overactive bladder, detrusor overactivity, idiopathic detrusor overactivity, neurogenic detrusor overactivity" and wherein the at least one LHRH antagonist is to be administered in an intermediate dose, which does not cause chemical (hormonal) castration.

IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of LHRH antagonists for treatment of lower urinary tract symptoms such as overactive bladder and/or detrusor overactivity without chemical castration and combination with other agents)

RN 286930-02-7 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:906140 CAPLUS
DOCUMENT NUMBER: 149:259305
TITLE: Impact of fesoterodine on quality of life: pooled data from two randomized trials
AUTHOR(S): Kelleher, Con J.; Tubaro, Andrea; Wang, Joseph T.; Kopp, Zoe
CORPORATE SOURCE: St. Thomas' Hospital, London, UK
SOURCE: BJU International (2008), 102(1), 56-61
CODEN: BJINFO; ISSN: 1464-4096
PUBLISHER: Blackwell Publishing Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Objective: To evaluate the effect of fesoterodine on health-related quality of life (HRQoL) in patients with overactive bladder (OAB) syndrome. Patients and methods: Pooled data from two randomized placebo-controlled phase III studies were analyzed. Eligible patients

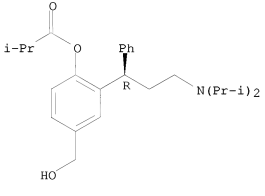
with frequency and urgency or urgency urinary incontinence were randomized to placebo or fesoterodine 4 or 8 mg for 12 wk; one trial also included tolterodine extended release (tolterodine-ER) 4 mg. HRQoL was assessed using the V King's Health Questionnaire (KHQ), International Consultation on Incontinence Questionnaire-Short Form (ICIQ-SF), a six-point Likert scale measuring the severity of bladder-related problems, and treatment response. Results: By the end of treatment, all active-treatment groups had significantly improved HRQoL compared with those on placebo, as shown by an improvement in the KHQ and ICIQ-SF scores, treatment response rate, and a major improvement in self-reported bladder-related problems. The fesoterodine 8-mg group had statistically significant improvements over placebo in eight of nine KHQ domains. Fesoterodine 4 mg and tolterodine-ER produced statistically significant improvements in seven of nine KHQ domains. Fesoterodine 8 mg gave better results than 4 mg in two domains; Emotions and Symptom Severity ($P < 0.05$). A major improvement (≥2 points) in bladder-related problems was reported by 33% of patients on fesoterodine 4 mg, 38% on fesoterodine 8 mg, and 34% on tolterodine-ER, vs 21% on placebo ($P < 0.001$). Conclusions: Fesoterodine significantly improved HRQoL in patients with OAB. Both fesoterodine 4 and 8 mg produced significant improvements on most KHQ domains, the ICIQ-SF, treatment response rate, and a Likert scale measuring bladder-related problems.

IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fesoterodine was safe, effective and improved health-related quality of life in patient with overactive bladder)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	2008:709029 CAPLUS
DOCUMENT NUMBER:	149:38852
TITLE:	Pharmaceutical compositions comprising fesoterodine
INVENTOR(S):	Arth, Christoph; Komenda, Michael; Bicane, Fatima; Paulus, Kerstin; Irngartinger, Meike; Lindner, Hans
PATENT ASSIGNEE(S):	Germany
SOURCE:	U.S. Pat. Appl. Publ., 39pp. CODEN: USXXCO
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080138421	A1	20080612	US 2007-811327	20070607
PRIORITY APPLN. INFO.:			US 2006-812149P P	20060609

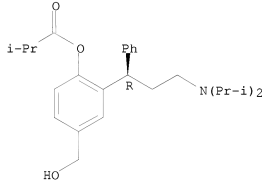
AB The present application relates to a pharmaceutical granulate comprising fesoterodine or a pharmaceutically acceptable salt or solvate thereof and a pharmaceutically acceptable stabilizer, which can be selected from the group consisting of sorbitol, xylitol, polydextrose, isomalt, dextrose, and combinations thereof, and is preferably a sugar alc. selected from the group consisting of xylitol and sorbitol. The granulate is suitable for incorporation into pharmaceutical compns. comprising a gel matrix formed by at least one type of hydroxypropyl Me cellulose into which the fesoterodine is embedded and, optionally, further excipients. In certain embodiments, the granulate is formed by a process of wet granulation.

IT 286930-02-7, Fesoterodine 286930-03-8, Fesoterodine fumarate
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(pharmaceutical granulates comprising fesoterodine)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



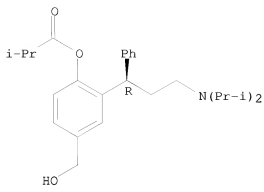
RN 286930-03-8 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

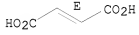
Absolute stereochemistry. Rotation (+).



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



L7 ANSWER 6 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:617528 CAPLUS
DOCUMENT NUMBER: 149:70270
TITLE: Pharmacological characterization of a novel investigation antimuscarinic drug, fesoterodine, in vitro and in vivo
AUTHOR(S): Ney, Peter; Pandita, Raj Kumar; Newgreen, Donald T.; Breidenbach, Alexander; Stoehr, Thomas; Andersson, Karl-Erik
CORPORATE SOURCE: Department of Pharmacology/Toxicology, Schwarz BioSciences GmbH, Monheim, Germany
SOURCE: BJU International (2008), 101(8), 1036-1042 CODEN: BJINFO; ISSN: 1464-4096
PUBLISHER: Blackwell Publishing Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Objective: To investigate the primary pharmacol. of fesoterodine (a novel antimuscarinic drug developed for treating overactive bladder) and SPM 7605 (its active metabolite, considered to be the main pharmacol. active principle of fesoterodine in man) against human muscarinic receptor subtypes, and to investigate in vitro and in vivo functional activity of these agents on the rat bladder compared with existing standard agents. Materials and Methods: The displacement of radioligand binding by fesoterodine, SPM 7605 and standard agents in membrane prepns. of Chinese hamster ovary (CHO) cells expressing the different human muscarinic receptors (M1-M5) was characterized. Agonistic and antagonistic activities were studied using different CHO cell lines stably expressing the human recombinant muscarinic receptor subtypes. The effects of fesoterodine and SPM 7605 on isolated bladder strips contracted by carbachol or elec. field stimulation (EFS) were investigated. In vivo the effects of fesoterodine and SPM 7605 on micturition variables were assessed using continuous cystometry in conscious female Sprague-Dawley rats, and compared to those of oxybutynin and atropine. Results: In vitro SPM 7605 potently inhibited radioligand binding at all five human muscarinic receptor subtypes with equal affinity across all five.

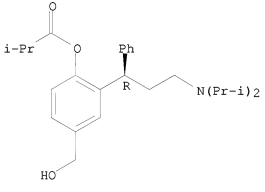
Fesoterodine had a similar balanced selectivity profile but was less potent than SPM 7605. Both substances were competitive antagonists of cholinergic agonist-stimulated responses in human M1-M5 cell lines and had a similar potency and selectivity profile to the radioligand-binding studies. In rat bladder strips, fesoterodine and SPM 7605 caused a rightward shift of the concentration-response curve for carbachol with no depression of the maximum, and concentration-dependently reduced contractions induced by EFS. The potency of both drugs was similar to that of atropine and oxybutynin. In the presence of the esterase inhibitor neostigmine, the concentration-response curve of fesoterodine was shifted to the right, suggesting that part of the activity was caused by metabolism to SPM 7605 by tissue enzymes. In vivo, low doses (0.01 mg/kg) of fesoterodine and SPM 7605 reduced micturition pressure and increased intercontraction intervals and bladder capacity, but did not affect residual volume Conclusions: Fesoterodine and its active metabolite, SPM 7605, are nonsubtype selective, competitive antagonists of human muscarinic receptors, but SPM 7605 has greater potency than the parent compound Pharmacodynamic studies in the rat bladder in vitro confirm the competitive muscarinic antagonist profile of these agents in a native tissue preparation, and in vivo studies in the rat showed effects on bladder function consistent with a muscarinic antagonist profile.

IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(SPM 7605 had higher muscarinic receptor antagonist activity compared to fesoterodine while both showed equal affinity across recombinant human muscarinic receptor subtypes in Chinese hamster ovary cell and urodynamic effects in rat bladder)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 7 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	2008:607700 CAPLUS
DOCUMENT NUMBER:	148:568964
TITLE:	Composition comprising α2-adrenoceptor agonist for treatment of excess sebum production
INVENTOR(S):	Roach, Alan George; Goldsmith, Paul
PATENT ASSIGNEE(S):	Daniolabs Ltd., UK
SOURCE:	PCT Int. Appl., 13pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008059190	A1	20080522	WO 2007-GB2101	20070607

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: GB 2006-11241 A 20060607

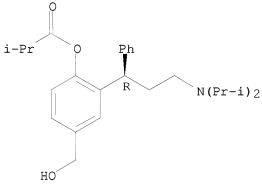
AB This invention relates to an α2-adrenoceptor agonist useful for the treatment or prevention of a condition associated with excess sebum production and/or excretion.

IT 286930-02-7, Fesoterodine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(composition comprising α2-adrenoceptor agonist for treatment of excess sebum production)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:70709 CAPLUS
DOCUMENT NUMBER: 148:152045
TITLE: Pharmaceutical preparation for oral administration with controlled active ingredient release in the small intestine and methods for its production
INVENTOR(S): Jung, Gerd; Schaupp, Albert
PATENT ASSIGNEE(S): Dr. R. Pfleger Chemische Fabrik GmbH, Germany
SOURCE: PCT Int. Appl., 41pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008006506	A1	20080117	WO 2007-EP5970	20070705
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1880718	A1	20080123	EP 2006-14244	20060710
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				

PRIORITY APPLN. INFO.: EP 2006-14244 A 20060710

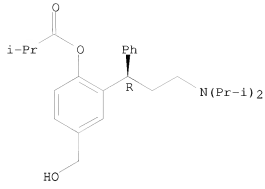
AB A pharmaceutical preparation for oral administration with controlled active ingredient release in the small intestine, on the basis of active ingredient carriers provided with at least one active ingredient which are provided with an inner layer for controlling the active ingredient release and a covering layer, arranged thereon, that is resistant to gastric juices, and is characterized in that the inner layer is constructed from at least two diffusion layers whose permeability for the diffusing active ingredient decreases from the inside to the outside, and a method for its production are described. Thus (1R,3R,5S)-3-[(Hydroxydiphenylacetyl)oxy]spiro[8-azoniabicyclo[3.2.1]octane-8,1'-pyrrolidinium] chloride-containing pharmaceutical formulations were prepared Pellets contained mg/dose: drug 45.000; neutral pellets 100.000; hypromellose 4.500; Macrogol 6000 0.450; total 154.450. The first diffusion layer was applied onto the above pellets, mg/dose: drug pellet 154.450; Kollicoat SR 30D 9.000; Kollicoat IR 1.800; propyleneglycol 0.900; talc 0.360; total 166.510. The second diffusion layer was applied onto the above coated pellets, mg/dose: drug pellet 166.510; Kollicoat SR 30D 9.000; Kollicoat IR 1.800; propyleneglycol 0.900; talc 0.360; total 177.175. The gastric juice resistant layer was applied onto the above coated pellets, mg/dose: drug pellet (containing 45 mg drug) 177.175, Kollicoat MAE30DP 28.000; talc 12.600; propylene glycol 4.200; Tylopur C30G1 0.720; total 222.695.

IT 286930-02-7
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical preparation for oral administration with controlled active ingredient release in small intestine and methods for its production)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 9 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:12183 CAPLUS
DOCUMENT NUMBER: 148:78885
TITLE: Process for preparation of (4R)-6-hydroxymethyl-4-phenyl-chroman-2-ol and the use thereof
INVENTOR(S): Meese, Claus
PATENT ASSIGNEE(S): Schwarz Pharma AG, Germany
SOURCE: PCT Int. Appl., 28pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007144097	A1	20071221	WO 2007-EP5008	20070606
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1867643	A1	20071219	EP 2006-12052	20060612
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
AU 2007260267	A1	20071221	AU 2007-260267	20070606
PRIORITY APPLN. INFO.:			EP 2006-12052 A	20060612
			WO 2007-EP5008 W	20070606

OTHER SOURCE(S): CASREACT 148:78885; MARPAT 148:78885

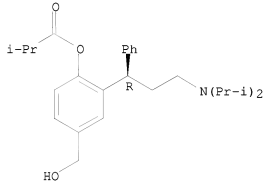
AB This invention pertains to a process for the preparation of (4R)-6-hydroxymethyl-4-phenyl-chroman-2-ol,which is a valuable intermediate used in the synthesis of fesoterodine, tolterodine, its active metabolite, and related compds. For example, cinnamic acid was condensed with Me 4-hydroxybenzoate for 4-phenyl-2-chromanone-6-carboxylic acid, which was treated with cinchonidine to afford optically pure (R)-(-)-4-phenyl-2-chromanone-6-carboxylic acid cinchonidine salt. The

salt obtained above was treated with hydrochloric acid to give (R)-(+)-4-phenyl-2-chromanone-6-carboxylic acid, which was then transformed to its Me ester, and further reduced with diisobutylaluminum hydride to afford the title compound Advantageously, the title process has small number of steps involved, and the overall yield of the active metabolite is satisfactory.

IT 286930-02-7P, Fesoterodine 960373-34-6P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of (4R)-6-hydroxymethyl-4-phenyl-chroman-2-ol and the use thereof)

RN 286930-02-7 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

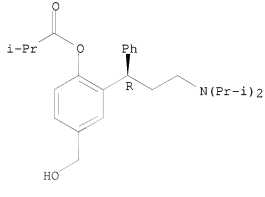


RN 960373-34-6 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:?) (CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

Absolute stereochemistry. Rotation (+).

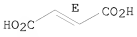


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



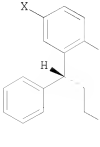
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 10 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1455092 CAPLUS
DOCUMENT NUMBER: 148:78746
TITLE: Preparation of Fesoterodine and its salts using paraformaldehyde or trioxane
INVENTOR(S): Ennis, Seth; Fuchs, Cornelia; Kanzler, Ralf; Johnson, Dean A.
PATENT ASSIGNEE(S): Schwarz Pharma, Ltd., Ire.
SOURCE: PCT Int. Appl., 27pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007144091	A1	20071221	WO 2007-EP4976	20070605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1867628	A1	20071219	EP 2006-12053	20060612
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				

PRIORITY APPLN. INFO.:		EP 2006-12053	A 20060612
		IE 2006-435	A 20060612

OTHER SOURCE(S): CASREACT 148:78746; MARPAT 148:78746
GI



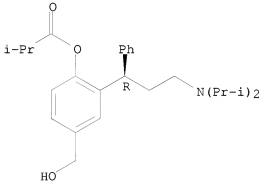
I

AB The present disclosure relates to a process for the preparation of a compound of
formula I wherein X is CH2OH, R is hydrogen, a formyl group, a straight, branched or cyclic C1-C6 alkylcarbonyl group or a phenylcarbonyl group, or a salt thereof, characterized by the steps of reacting a compound of formula I (X = Br, R = Bn) with a mixture of Grignard initiator and Mg in a solvent to form a Grignard reagent, reacting the Grignard reagent with paraformaldehyde or trioxane to obtain a compound of formula I (X = CH2OH, R = Bn) and then further reacting the compound of formula I (X = CH2OH, R = Bn) in a known manner to obtain Fesoterodine, I (X = = CH2OH, R = i-PrC(O)-), and its hydrogen fumarate salt.

IT 286930-02-7P 286930-03-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of Fesoterodine and its hydrogen fumarate salt using paraformaldehyde or trioxane)

RN 286930-02-7 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

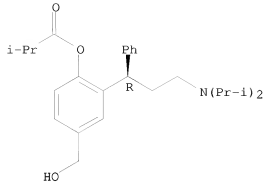


RN 286930-03-8 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

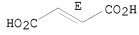
Absolute stereochemistry. Rotation (+).



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1454781 CAPLUS
DOCUMENT NUMBER: 148:78876
TITLE: Cyclopentylpyrrolidinone derivatives and their preparation and use in combination therapy for the treatment of urinary frequency, urinary urgency and urinary incontinence
INVENTOR(S): Gottesdiener, Keith M.; Green, Stuart A.; Macintyre, Euan
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 86pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

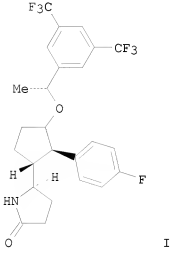
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007146224	A2	20071221	WO 2007-US13683	20070607
WO 2007146224	A3	20080214		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2006-812743P P 20060612

GI



I

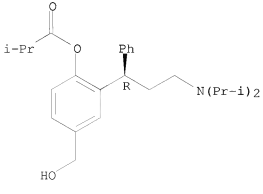
AB This invention concerns compns. for the treatment of urinary frequency, urinary urgency and urinary incontinence comprising a selected antagonist of the NK-1 receptor or a pharmaceutically acceptable salt thereof and an anti-muscarinic agent or a pharmaceutically acceptable salt thereof. This invention concerns combination therapy for urinary frequency, urinary urgency and urinary incontinence wherein one of the active agents is a selected antagonist of the NK-1 receptor or a pharmaceutically acceptable salt thereof and another is an anti-muscarinic agent or a pharmaceutically acceptable salt thereof. Example compound I was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their NK-1 receptor antagonistic activity.

IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of cyclopentylpyrrolidinone derivs. as anti-muscarinic agents and NK-1 receptor antagonists in combination therapy of urinary frequency, urinary urgency and urinary incontinence)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



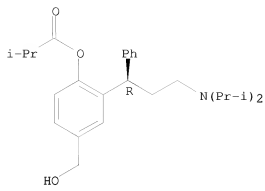
L7 ANSWER 12 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1436816 CAPLUS
DOCUMENT NUMBER: 148:229838
TITLE: Efficacy, safety and tolerability of fesoterodine for overactive bladder syndrome
AUTHOR(S): Nitti, Victor W.; Dmochowski, Roger; Sand, Peter K.; Forst, Hans-Theo; Haag-Molkenteller, Cornelia; Massow, Ute; Wang, Joseph; Brodsky, Marina; Bavendam, Tamara
CORPORATE SOURCE: Department of Urology, New York University School of Medicine, New York, NY, USA
SOURCE: Journal of Urology (New York, NY, United States) (2007), 178(6), 2488-2494
CODEN: JOURAA; ISSN: 0022-5347
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Purpose: We evaluated the efficacy, tolerability and safety of the new antimuscarinic agent fesoterodine relative to placebo for overactive bladder syndrome. Materials and Methods: This was a randomized, double-blind, placebo controlled, multicenter trial performed in the United States. Overall 836 subjects with urinary frequency, urinary urgency or urgency urinary incontinence were randomized to placebo (274), 4 mg fesoterodine (283) or 8 mg fesoterodine (279) once daily for 12 wk. The primary efficacy end point was the change in the number of micturitions per 24 h. Co-primary end points were the change in the number of urgency urinary incontinence episodes per 24 h and the treatment response. Secondary efficacy end points were other bladder diary variables, such as the change in mean voided volume per micturition, number of continent days and number of urgency episodes per 24 h. Tolerability and safety were assessed by evaluating adverse events, electrocardiograms, post-void residual urine volume, laboratory parameters and treatment withdrawals. Results: Treatment with 4 or 8 mg fesoterodine resulted in statistically significant and clin. relevant improvements from baseline to end of treatment for the primary and co-primary end points compared with placebo ($p < 0.05$). Results for most secondary end points, including mean voided volume per micturition, number of continent days and number of urgency episodes per 24 h, were also significantly improved vs placebo. The adverse events reported more frequently with fesoterodine than with placebo were dry mouth, constipation and urinary tract infection. Conclusions: The 2 doses of fesoterodine were well tolerated and they statistically significantly improved overactive bladder symptoms.

IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fesoterodine was safe, well tolerated and effectively improved overactive bladder syndrome including urinary frequency, urinary urgency and urgency urinary incontinence in patient)

RN 286930-02-7 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 13 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1425394 CAPLUS
DOCUMENT NUMBER: 148:45893
TITLE: Treatment of excess sebum production
INVENTOR(S): Roach, Alan George; Goldsmith, Paul
PATENT ASSIGNEE(S): Daniolabs Ltd., UK
SOURCE: PCT Int. Appl., 12pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007141530	A2	20071213	WO 2007-GB2098	20070607
WO 2007141530	A3	20080605		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: GB 2006-11240 A 20060607

AB A muscarinic receptor antagonist is useful for the treatment or prevention of a condition associated with excess sebum production or excretion.

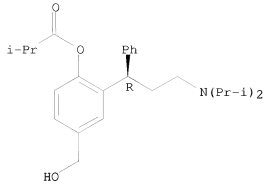
Muscarinic
receptor antagonist oxybutynin dose-dependently reduced sebum production in healthy human volunteers.

IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(muscarinic receptor antagonist for treatment of excess sebum production)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

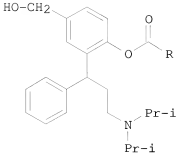
Absolute stereochemistry. Rotation (+).



L7 ANSWER 14 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1420493 CAPLUS
DOCUMENT NUMBER: 148:54756
TITLE: Process for preparation of phenolic monoesters of 2-(3-diisopropylamino-1-phenylpropyl)-4-(hydroxymethyl)phenol by acylation in the presence of diisopropylethylamine.
INVENTOR(S): Ennis, Seth; Drews, Roland; Meese, Claus
PATENT ASSIGNEE(S): Schwarz Pharma Ltd., Ire.
SOURCE: PCT Int. Appl., 23pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007140986	A1	20071213	WO 2007-EP4977	20070605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: EP 2006-11966 A 20060609
IE 2006-433 A 20060609
OTHER SOURCE(S): CASREACT 148:54756; MARPAT 148:54756
GI



I

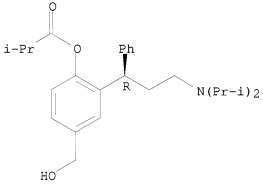
AB Title compds. [I; R = H, (substituted) straight, branched or cyclic C1-6 alkyl, aryl], were prepared by treatment of 2-(3-diisopropylamino-1-phenylpropyl)-4-(hydroxymethyl)phenol with RCOX (R as above; X = leaving group) in the presence of diisopropylethylamine. Thus, Fesoterodine hemifumarate was prepared in 103% crude yield by the above method.

IT 286930-02-7P, Fesoterodine 286930-03-8P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of phenolic monoesters of diisopropylaminophenylpropylhydroxymethylphenol by acylation in the presence of diisopropylethylamine)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



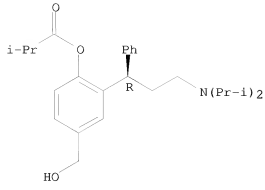
RN 286930-03-8 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

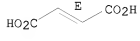
Absolute stereochemistry. Rotation (+).



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

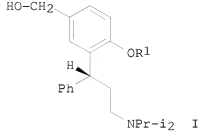
L7 ANSWER 15 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1420279 CAPLUS
DOCUMENT NUMBER: 148:54755
TITLE: Process for the production of substituted hydroxymethyl phenols
INVENTOR(S): Ennis, Seth; Kennedy, Bryan
PATENT ASSIGNEE(S): Schwarz Pharma Ltd., Ire.
SOURCE: PCT Int. Appl., 28pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007140965	A1	20071213	WO 2007-EP4928	20070604
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1864966	A1	20071212	EP 2006-11838	20060608
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				

PRIORITY APPLN. INFO.: EP 2006-11838 A 20060608
IE 2006-424 A 20060608

OTHER SOURCE(S): MARPAT 148:54755

GI



I

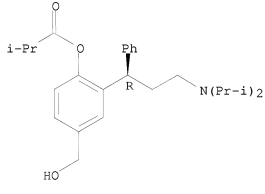
AB The invention relates to a process for the production of hydroxymethyl phenols I [wherein R1 is H, or (alkyl|phenyl)carbonyl] or its salts thereof, which is known as the active metabolite of tolterodine, and its phenolic monoesters by an improved synthetic route via a so-called "Turbo Grignard" reaction.

IT 286930-02-7P, Fesoterodine 286930-03-8P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxymethyl phenols as the active metabolite of tolterodine)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



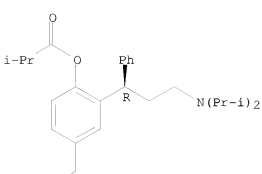
RN 286930-03-8 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

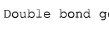
Absolute stereochemistry. Rotation (+).



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 16 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1420174 CAPLUS
DOCUMENT NUMBER: 148:62011
TITLE: Stabilized pharmaceutical compositions comprising fesoterodine
INVENTOR(S): Arth, Christoph; Mika, Hans-Juergen; Komenda, Michael; Lindner, Hans; Bicane, Fatima; Paulus, Kerstin; Irngartiner, Meike
PATENT ASSIGNEE(S): Schwarz Pharma AG, Germany
SOURCE: PCT Int. Appl., 74pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007141298	A1	20071213	WO 2007-EP55582	20070606
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1864651	A1	20071212	EP 2006-11942	20060609

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU

EP 1864656 A1 20071212 EP 2006-11943 20060609

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU

EP 1867328 A1 20071219 EP 2006-11941 20060609

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU

NL 2000690 A1 20071211 NL 2007-2000690 20070608

NL 2000690 C2 20080401

PRIORITY APPLN. INFO.: EP 2006-11941 A 20060609
EP 2006-11942 A 20060609
EP 2006-11943 A 20060609

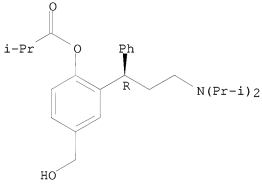
AB The present application relates to a pharmaceutical composition comprising fesoterodine or a pharmaceutically acceptable salt or solvate thereof and a stabilizer selected from the group consisting of xylitol, sorbitol, polydextrose, isomalt and dextrose. A tablet contained fesoterodine hydrogen fumarate 4.0, xylitol 76.0, lactose monohydrate 43.0, microcryst. cellulose 41.5, hypromellose (e.g. Methocel K100M) 70.0, hypromellose (e.g. Methocel K4M) 70.0, glycerol dibehenate 8.0, talc 7.5, and purified water q.s.

IT 286930-02-7, Fesoterodine 286930-03-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(stabilized pharmaceutical compns. comprising fesoterodine)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



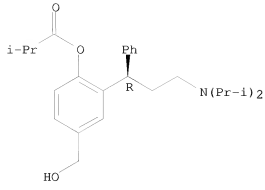
RN 286930-03-8 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

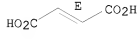
Absolute stereochemistry. Rotation (+).



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

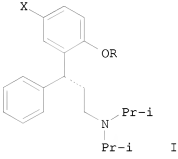


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 17 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1395061 CAPLUS
DOCUMENT NUMBER: 148:33495
TITLE: Method for preparation of Fesoterodine and related intermediates
INVENTOR(S): Browne, Roisin; Kilkelly, Michael
PATENT ASSIGNEE(S): Schwarz Pharma Ltd., Ire.
SOURCE: PCT Int. Appl., 45pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007137799	A1	20071206	WO 2007-EP4705	20070526
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1862448	A1	20071205	EP 2006-11293	20060531
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				

EP 1862449 A1 20071205 EP 2006-11294 20060531
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU
EP 1940774 A1 20080709 EP 2007-725601 20070526
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS
PRIORITY APPLN. INFO.: EP 2006-11293 A 20060531
EP 2006-11294 A 20060531
IE 2006-415 A 20060531
WO 2007-EP4705 W 20070526
OTHER SOURCE(S): CASREACT 148:33495; MARPAT 148:33495
GI



I

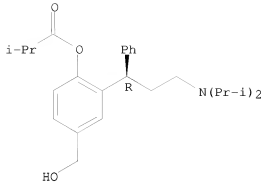
AB The present disclosure relates to a process for the preparation of 2-(3-diisopropylamino-1-phenylpropyl)-4-(hydroxymethyl)phenol [I; X = CH2OH, R = H] or its phenolic monoesters or salts thereof, characterized by the steps of: (a) reacting a compound of formula I [X = Br, R = Bn] with a mixture of a Grignard initiator and Mg in a solvent; (b) optionally reducing the temperature of the Grignard reagent to a lower temperature than in step (a), and reacting the resulting Grignard reagent with an excess of a carbonate in a solvent, to obtain a compound of formula I [X = AO2C wherein A = alkyl, R = Bn (II)], and the further reacting the compound of formula II in a known manner to obtain the desired end product. The invention further includes the hydrogen fumarate salt of I.

IT 286930-02-7P, Fesoterodine 286930-03-8P
RL: IMF (Industrial manufacture); PREP (Preparation)
(method for preparation of fesoterodine and related intermediates)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

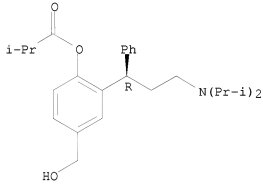


RN 286930-03-8 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

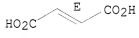
Absolute stereochemistry. Rotation (+).



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



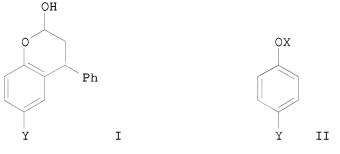
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 18 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1389231 CAPLUS
DOCUMENT NUMBER: 148:33629
TITLE: Process for the production of benzopyran-2-ol derivatives
INVENTOR(S): Ahman, Jens Bertil; Dillon, Barry Richard; Pettman,

Alan John
PATENT ASSIGNEE(S): Pfizer Limited, UK
SOURCE: PCT Int. Appl., 37pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007138440	A1	20071206	WO 2007-IB1379	20070521
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
JP 2007314537	A	20071206	JP 2007-135615	20070522

PRIORITY APPLN. INFO.: US 2006-803068P P 20060524
OTHER SOURCE(S): CASREACT 148:33629; MARPAT 148:33629
GI



AB The invention provides a process for the production of a compound of formula (I), wherein Y is selected from CH3, CH2OH, CH2CH2OH, CH2Br and Br; comprising the steps of: (i) reacting a compound of formula (II), wherein OX is OH or O- M+, in which M+ is a cation selected from Li+, Na+ and K+, and Y is as defined above; with trans-cinnamaldehyde, in the presence of a secondary amine compound; then (ii) treating the product of the preceding step with acid to afford I. Compds. I are intermediates useful in the production of tolterodine and fesoterodine, which are useful in the treatment of overactive bladder.

IT 286930-03-8P
RL: IMF (Industrial manufacture); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzopyranol derivs.)

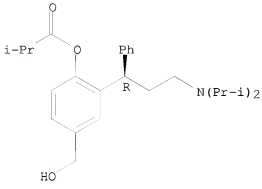
RN 286930-03-8 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

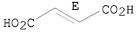
Absolute stereochemistry. Rotation (+).



CM 2

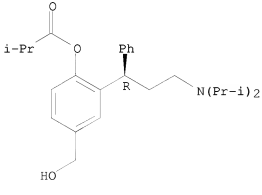
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



IT 286930-02-7P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of benzopyranol derivs.)
RN 286930-02-7 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

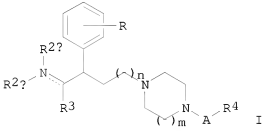


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

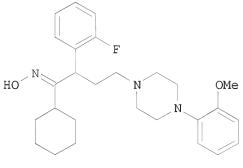
ACCESSION NUMBER: 2007:1334076 CAPLUS
DOCUMENT NUMBER: 148:11263
TITLE: Preparation of amino- and imino-alkylpiperazines having affinity for serotonergic receptors
INVENTOR(S): Leonardi, Amedeo; Motta, Gianni; Riva, Carlo; Guarneri, Luciano
PATENT ASSIGNEE(S): Recordati Ireland Limited, Ire.
SOURCE: U.S. Pat. Appl. Publ., 44pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20070270436	A1	20071122	US 2007-751322		20070521
PRIORITY APPLN. INFO.:			US 2006-802738P	P	20060522

OTHER SOURCE(S): MARPAT 148:11263
GI



I

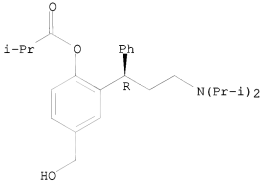


II

AB Title compds. represented by the formula I [wherein R = H, alkyl, alkoxy, etc.; R2a = H, alkyl, alkenyl, etc.; R2b = not present or H, alkyl, formyl, etc.; R3 = (cyclo)alkyl, alkenyl or alkynyl; R4 = (un)substituted (hetero)aryl; A = a bond or (CH2)n; m = 1 or 2; n = 1 or 2; or enantiomers, optical isomers, diastereomers, N-oxides, crystalline forms, hydrates and pharmaceutically acceptable salts thereof] were prepared For example, reaction of 1-[4-cyclohexyl-3-(2-fluorophenyl)-4-oxobutyl]-4-(2-methoxyphenyl)piperazine with hydroxylamine•HCl in EtOH/H2O at reflux for 6 h gave II in 97% yield. I were tested for binding affinity with 5-HT1A receptor, inhibition of serotonergic syndrome induced by 8-OH-DPAT in rats, and etc. Thus, I and their pharmaceutical compns., having affinity for serotonergic receptors, are useful for the treatment of patients with neuromuscular dysfunction of the lower urinary tract and CNS diseases and/or disorders associated with 5-HT1A receptor dysfunction.

IT 286930-02-7, Fesoterodine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy agent; preparation of amino- and imino-alkylpiperazines having affinity for serotonergic 5-HT1A receptors)
RN 286930-02-7 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L7 ANSWER 20 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1213902 CAPLUS
DOCUMENT NUMBER: 148:69911
TITLE: Clinical efficacy, safety, and tolerability of once-daily fesoterodine in subjects with overactive bladder
AUTHOR(S): Chapple, Christopher; Van Kerrebroeck, Philip; Tubaro, Andrea; Haag-Molkenteller, Cornelia; Forst, Hans-Theo; Massow, Ute; Wang, Joseph; Brodsky, Marina
CORPORATE SOURCE: The Royal Hallamshire Hospital, Sheffield, UK
SOURCE: European Urology (2007), 52(4), 1204-1212
CODEN: EUURAV; ISSN: 0302-2838
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Objective: To determine the efficacy, tolerability, and safety of fesoterodine in subjects with overactive bladder (OAB). Methods: This was a multicenter, randomized, double-blind, placebo- and active-controlled trial with tolterodine extended release (ER) to assess the efficacy and safety of fesoterodine. Eligible subjects (≥18 yr) with increased micturition frequency and urgency and/or urgency urinary incontinence (UUI) were randomized to placebo, fesoterodine 4 mg, fesoterodine 8 mg, or tolterodine ER 4 mg for 12 wk. The primary efficacy variable was a change from baseline to week 12 in micturitions per 24 h. Co-primary end points included change from baseline to week 12 in UUI episodes per 24 h and Treatment Response ("yes" or "no," based on four-point treatment benefit scale). Secondary efficacy variables included mean volume voided per micturition, continent days per wk, and number of urgency episodes. Results: At the end of treatment, subjects taking fesoterodine 4 and 8 mg had significant ($p < 0.05$) and clin. relevant improvements vs. placebo in the primary, co-primary, and most secondary efficacy variables. Tolterodine ER (active control) also provided significantly greater improvement than placebo for most efficacy variables, confirming the sensitivity of the study design. A more pronounced effect was observed with fesoterodine 8 mg at most end points. Conclusions: Both doses of fesoterodine were significantly better than placebo in improving the symptoms of OAB and produced a significantly greater Treatment Response vs. placebo. Efficacy

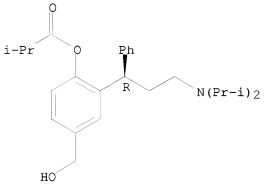
was more pronounced with fesoterodine 8 mg compared with the other treatments. Active treatments were well tolerated.

IT 286930-02-7, Fesoterodine
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(once-daily fesoterodine 4 mg or 8 mg was effective and well tolerated in patient with overactive bladder)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 21 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:940100 CAPLUS
DOCUMENT NUMBER: 147:269265
TITLE: Combination of an α2-receptor agonist (such as clonidine) and an antimuscarinic agent (such as oxybutynin) for the treatment of sialorrhea
INVENTOR(S): Roach, Alan George; Goldsmith, Paul
PATENT ASSIGNEE(S): Daniolabs Ltd., UK
SOURCE: PCT Int. Appl., 16pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007093824	A1	20070823	WO 2007-GB50057	20070212
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2007216320	A1	20070823	AU 2007-216320	20070212
EP 1986642	A1	20081105	EP 2007-705370	20070212

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

IN 2008DN06924 A 20081024 IN 2008-DN6924 20080812

PRIORITY APPLN. INFO.: GB 2006-2855 A 20060213
GB 2006-2857 A 20060213
WO 2007-GB50057 W 20070212

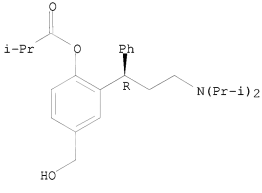
AB An α2-adrenoreceptor agonist (e.g. clonidine, brimonidine, monoxidine, lofexidine) is useful for the treatment of sialorrhea, administered by the paralingual, sublingual or buccal route. The patient to be treated is also given an antimuscarinic agent (e.g. oxybutynin, glycopyrrolate, ipratropium).

IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(α2-receptor agonist-antimuscarinic agent combination for treatment of sialorrhea)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 22 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:705973 CAPLUS

DOCUMENT NUMBER: 147:125829

TITLE: Pharmaceutical combination comprising a PED5 inhibitor and a muscarinic antagonist for the treatment of LUTS

INVENTOR(S): Mastrell, Carl Erik Johan; Suesserman, Michael Allen

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 32pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007072169	A2	20070628	WO 2006-IB3683	20061219
WO 2007072169	A3	20071101		

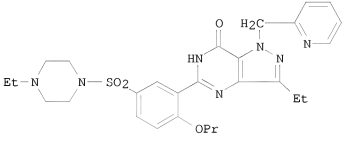
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,

RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

AU 2006327882	A1	20070628	AU 2006-327882		20061219
CA 2634019	A1	20070628	CA 2006-2634019		20061219
JP 2007169278	A	20070705	JP 2006-341662		20061219
EP 1965863	A2	20080910	EP 2006-821077		20061219
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR					
MX 2008006766	A	20080604	MX 2008-6766		20080526
IN 2008DN04971	A	20080815	IN 2008-DN4971		20080610
KR 2008076961	A	20080820	KR 2008-714835		20080619
PRIORITY APPLN. INFO.:			US 2005-752625P	P	20051220
			US 2006-757720P	P	20060109
			WO 2006-IB3683	W	20061219

GI



I

AB This invention relates to the combined use of a phosphodiesterase 5 (PDE5) inhibitor and a muscarinic antagonist in the treatment of lower urinary tract symptoms (LUTS), such as urgency, frequency, nocturia and urge incontinence. A method of treatment of LUTS comprises simultaneous, sep., or sequential administration of a PED5 inhibitor and a muscarinic antagonist to a patient in need of such treatment. Thus, a muscarinic antagonist, oxybutynin (3.18 mg/kg) produced a small increase in micturition pressure, whereas the PED5 inhibitor, 3-ethyl-5-[5-(4-ethylpiperazin-1-ylsulfonyl)-2-n-propoxyphenyl]-1-(pyridin-2-yl)methyl-1,6-dihydro-7H-pyrazolo[4,3-d]pyrimidin-7-one (I, 0.11 mg/kg and 0.32 mg/kg) produced a small reduction in micturition pressure in guinea pigs. The combination of oxybutynin (3.18 mg/kg) plus I (0.32 mg/kg) produced a greater reduction in micturition pressure than observed with I (0.32 mg/kg) alone. These data appear to imply a synergistic effect of oxybutynin and the higher dose of I tested on micturition pressure. Also, an immediate-release tablet containing fesoterodine (muscarinic antagonist) and 5-[2-ethoxy-5-(4-ethylpiperazine-1-sulfonyl)pyridin-3-yl]-3-ethyl-2-(2-methoxyethyl)-2,6-dihydropyrazolo[4,3-d]pyrimidin-7-one (PED5 inhibitor) were prepared comprising (i) a core containing fesoterodine hydrogen fumarate 2.0 mg, 5-[2-ethoxy-5-(4-ethylpiperazine-1-sulfonyl)pyridin-3-yl]-3-ethyl-2-(2-methoxyethyl)-2,6-dihydropyrazolo[4,3-d]pyrimidin-7-one besylate 5.0 mg, microcryst. cellulose 53.4 mg, calcium hydrogen phosphate dihydrate 18.0 mg, sodium starch glycollate 6.0 mg, magnesium stearate 0.4 mg, and colloidal silica 0.2 mg, and (ii) a coating containing methylhydroxypropyl cellulose 1.5 mg, microcryst. cellulose 0.3 mg, stearic acid 0.6 mg, and titanium dioxide E 171 0.6 mg.

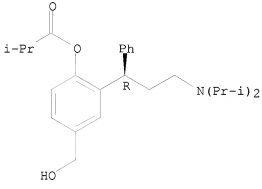
IT 286930-02-7, Fesoterodine 286930-03-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)
(compns. comprising PED5 inhibitor and muscarinic antagonist for treatment of lower urinary tract disorders)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



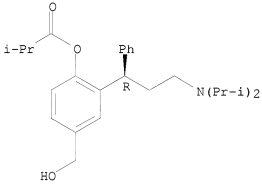
RN 286930-03-8 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

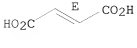
Absolute stereochemistry. Rotation (+).



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



L7 ANSWER 23 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:259675 CAPLUS
DOCUMENT NUMBER: 146:281054
TITLE: Pharmaceutical compositions comprising combinations of an antimuscarinic agent and an anticholinergic agent for the treatment of a patient suffering from overactive bladder
INVENTOR(S): Paborji, Mehdi
PATENT ASSIGNEE(S): Theravida, LLC, USA
SOURCE: PCT Int. Appl., 49pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007027675	A1	20070308	WO 2006-US33671	20060828
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006284940	A1	20070308	AU 2006-284940	20060828
CA 2619565	A1	20070308	CA 2006-2619565	20060828
US 20070053995	A1	20070308	US 2006-467760	20060828
EP 1933833	A1	20080625	EP 2006-813885	20060828
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
MX 200802907	A	20080618	MX 2008-2907	20080228
IN 2008CN01052	A	20080912	IN 2008-CN1052	20080229
CN 101287462	A	20081015	CN 2006-80032097	20080229
KR 2008059155	A	20080626	KR 2008-705797	20080310

PRIORITY APPLN. INFO.: US 2005-714150P P 20050902
WO 2006-US33671 W 20060828

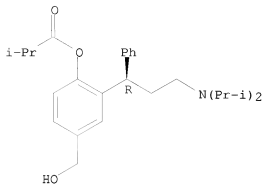
AB Disclosed herein are pharmaceutical compns. comprising various combinations of an antimuscarinic or an anticholinergic agent, a compound that causes stimulation of salivary glands, and a compound that relieves constipation. Also disclosed are methods of treating a patient suffering from overactive bladder comprising administering to the patient the above pharmaceutical composition To an individual with overactive bladder is given 5 mg of oxybutynin two to four times a day in addition to 5 mg of pilocarpine two or three times a day. If the individual continues to complain about dry mouth, the dose of pilocarpine is increased to 10 mg two or three times a day. The dose can be increased upto 20 mg, or 50 mg, if needed. Each dose of oxybutynin can be increased to 10, 15, 20, or 30 mg.

IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(therapy for treatment of disease)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 24 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1133705 CAPLUS
DOCUMENT NUMBER: 146:74422
TITLE: Treatment of the overactive bladder syndrome with muscarinic receptor antagonists - a matter of metabolites?
AUTHOR(S): Michel, Martin C.; Hegde, Sharath S.
CORPORATE SOURCE: Department of Pharmacology & Pharmacotherapy, Academic Medical Center, University of Amsterdam, Amsterdam, 1105 AZ, Neth.
SOURCE: Naunyn-Schmiedeberg's Archives of Pharmacology (2006), 374(2), 79-85
CODEN: NSAPCC; ISSN: 0028-1298
PUBLISHER: Springer
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

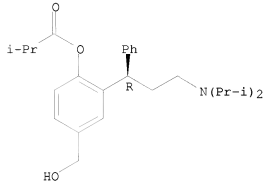
AB A review. Antagonists of muscarinic acetylcholine receptors, such as darifenacin, oxybutynin, propiverine, solifenacin, tolterodine, and trospium, are the mainstay of the treatment of the overactive bladder syndrome. Fesoterodine is a newer drug awaiting regulatory approval. The authors briefly review the pharmacol. activity of their metabolites and discuss how active metabolites may contribute to their efficacy and tolerability in vivo. Except for trospium, and perhaps solifenacin, all of the above drugs form active metabolites, and their presence and activity need to be taken into consideration when elucidating relationships between pharmacokinetics and pharmacodynamics of these drugs. Moreover, the ratios between parent compds. and metabolites may differ depending on genotype of the metabolizing enzymes, concomitant medication, and/or drug formulation. Differential generation of active metabolites of darifenacin or tolterodine are unlikely to influence the overall clin. profile of these drugs in a major way because the active metabolites exhibit a similar pharmacol. profile as the parent compound In contrast, metabolites of oxybutynin and propiverine may behave quant. or even qual. differently from their parent compds. and this may have an impact on the overall clin. profile of these drugs. The authors conclude that more comprehensive studies of drug metabolites are required for an improved understanding of their clin. effects.

IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of overactive bladder syndrome with muscarinic receptor antagonists - a matter of metabolites)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

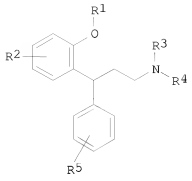


REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 25 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:630212 CAPLUS
DOCUMENT NUMBER: 145:110309
TITLE: Injectable sustained release microspheric preparation of 3,3-diphenylpropylamine derivatives as muscarinic receptor antagonists
INVENTOR(S): Li, Youxin
PATENT ASSIGNEE(S): Peop. Rep. China
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006066509	A1	20060629	WO 2005-CN2277	20051222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
CN 1795845	A	20060705	CN 2004-10101721	20041223
PRIORITY APPLN. INFO.:			CN 2004-10101721 A	20041223
OTHER SOURCE(S):	MARPAT 145:110309			

GI



I

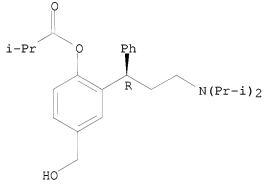
AB The invention relates to injectable sustained release microspheric preparation of 3,3-diphenylpropylamine, its preparing process and application. The said sustained release microspheric preparation consists of 3,3-diphenylpropylamine of formula I as follows, its optical enantiomers or racemates and one or more medicinal biodegradable high-mol. auxiliary material and other medicinal auxiliary material, wherein the definition of R1, R2 R3 R4 and R5 sees the claims. The injectable sustained release microspheric preparation according to the invention is used for treatment or supplementary treatment of diseases related to the muscarinic receptor and unstable or overactive bladder such as urgency or stress urinary incontinence, urge incontinence, urinary urgency or frequency, etc.

IT 286930-02-7 895137-80-1
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(injectable sustained release microspheric preparation of 3,3-diphenylpropylamine derivs. as muscarinic receptor antagonists)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

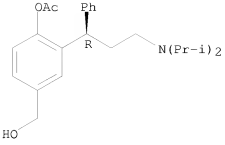
Absolute stereochemistry. Rotation (+).



RN 895137-80-1 CAPLUS

CN Benzenemethanol, 4-(acetyloxy)-3-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 26 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:76147 CAPLUS
DOCUMENT NUMBER: 144:156740
TITLE: Combinations of statins with bronchodilators for treatment of respiratory disorders
INVENTOR(S): Lindmark, Bertil; Thoren, Anders Ingemar
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
SOURCE: PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006008437	A1	20060126	WO 2005-GB2413	20050620
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005263883	A1	20060126	AU 2005-263883	20050620
CA 2573393	A1	20060126	CA 2005-2573393	20050620
EP 1773319	A1	20070418	EP 2005-752046	20050620
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
CN 1984653	A	20070620	CN 2005-80023801	20050620
JP 2008506674	T	20080306	JP 2007-520874	20050620
BR 2005013283	A	20080506	BR 2005-13283	20050620
US 20080004247	A1	20080103	US 2007-571869	20070109
MX 200700424	A	20070307	MX 2007-424	20070111
KR 2007031392	A	20070319	KR 2007-700831	20070112
NO 2007000651	A	20070205	NO 2007-651	20070205
IN 2007DN01182	A	20070427	IN 2007-DN1182	20070213
PRIORITY APPLN. INFO.:			GB 2004-15789 A	20040715
			WO 2005-GB2413 W	20050620

AB The invention provides medicaments comprising combinations of bronchodilators, glucocorticosteroids and HMG-CoA reductase inhibitors in the treatment of respiratory disorders such as chronic obstructive

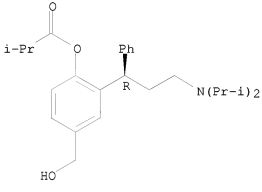
pulmonary disease (COPD). For example, a metered dose inhaler contained per dose formoterol fumarate dihydrate 4.5 μg, budesonide 160 μg, rosuvastatin 1 mg, and HFA 227 50 μL. Also, an inhalation/oral combination comprised an aerosol formulation containing per dose formoterol fumarate dihydrate 4.5 μg and budesonide 160 μg, and a tablet formulation containing rosuvastatin 10 mg.

IT 286930-02-7, Fesoterodine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combinations of statins with bronchodilators for treatment of respiratory disorders)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 27 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1075634 CAPLUS

DOCUMENT NUMBER: 143:373316

TITLE: Combination therapy using adrenergic receptor antagonist in combination with muscarinic receptor antagonists and testosterone 5-reductase inhibitors for lower urinary tract symptoms

INVENTOR(S): Chugh, Anita; Tiwari, Atul

PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India

SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005092341	A1	20051006	WO 2004-IB842	20040322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,				

TD, TG

EP 1746998 A1 20070131 EP 2004-722336 20040322
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, LT, LV, MK

WO 2005092342 A1 20051006 WO 2004-IB866 20040323
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

IN 2006DN06061 A 20070427 IN 2006-DN6061 20061017
IN 2006DN06389 A 20070831 IN 2006-DN6389 20061031
US 20080167317 A1 20080710 US 2008-593939 20080225
PRIORITY APPLN. INFO.: WO 2004-IB842 W 20040322
WO 2004-IB866 W 20040323

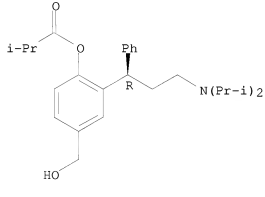
AB This invention relates to combination therapy for the treatment of benign prostatic hyperplasia (BPH) and lower urinary tract symptoms (LUTS) associated with or without BPH. The combination therapy comprises of 1α adrenergic receptor (AR) subtype selective antagonist in combination with muscarinic receptor antagonist and optionally included Testosterone 5-reductase inhibitor for relief of LUTS in a subject with or without BPH.

IT 286930-02-7
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy using adrenergic receptor antagonist in combination with muscarinic receptor antagonists and testosterone 5-reductase inhibitors for lower urinary tract symptoms)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



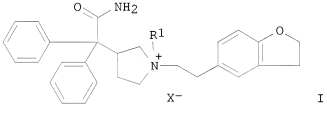
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 28 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:902168 CAPLUS
DOCUMENT NUMBER: 141:374727
TITLE: Method using quaternary ammonium compounds for the treatment of irritable bowel syndrome
INVENTOR(S): Richards, Ivan Michael; Kolbasa, Karen Patrice

PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, LLC, USA
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004091597	A2	20041028	WO 2004-IB1218	20040405
WO 2004091597	A3	20050414		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20040220224	A1	20041104	US 2004-823944	20040413

PRIORITY APPLN. INFO.: US 2003-462921P P 20030415
OTHER SOURCE(S): MARPAT 141:374727
GI



I

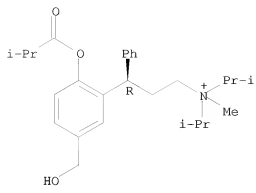
AB The invention discloses a method for treating irritable bowel syndrome by administering quaternary ammonium compds. Compds. of the invention include e.g. I [R1 = (un)substituted C1-6 alkyl, (un)substituted CH2(C1-4 alkenyl), (un)substituted CH2(C1-6 alkynyl); X = anion of pharmaceutically acceptable acid]. Preparation of selected compds., e.g. (3R)-3-(2-hydroxy-5-methylphenyl)-N,N-diisopropyl-N-methyl-3-phenylpropan-1-aminium bromide, is included.

IT 518360-93-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(quaternary ammonium compds. for treatment of irritable bowel syndrome)

RN 518360-93-5 CAPLUS

CN Benzenepropanaminium, 5-(hydroxymethyl)-N-methyl-N,N-bis(1-methylethyl)-2-(2-methyl-1-oxopropoxy)-γ-phenyl-, bromide, (γR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

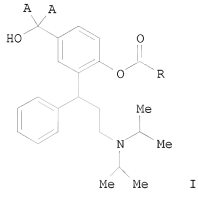


● Br^-

L7 ANSWER 29 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:878361 CAPLUS
DOCUMENT NUMBER: 141:370546
TITLE: Highly pure bases of 3,3-diphenyl propylamine monoesters for use in transdermal delivery systems
INVENTOR(S): Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael; Drews, Roland
PATENT ASSIGNEE(S): Schwarz Pharma Ag, Germany
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089872	A1	20041021	WO 2004-EP3567	20040403
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10315917	A1	20041118	DE 2003-10315917	20030408
AU 2004228163	A1	20041021	AU 2004-228163	20040403
AU 2004228163	B2	20070607		
CA 2505848	A1	20041021	CA 2004-2505848	20040403
BR 2004006221	A	20050809	BR 2004-6221	20040403
EP 1613584	A1	20060111	EP 2004-725610	20040403
EP 1613584	B1	20071121		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1802345	A	20060712	CN 2004-80009224	20040403
JP 2006522758	T	20061005	JP 2006-504989	20040403
ES 2297409	T3	20080501	ES 2004-725610	20040403
ZA 2005002679	A	20060426	ZA 2005-2679	20050331
MX 2005PA03562	A	20050603	MX 2005-PA3562	20050401

US 20060014832 A1 20060119 US 2005-532836 20050426
NO 2005005078 A 20051031 NO 2005-5078 20051031
HK 1087399 A1 20080718 HK 2006-107724 20060710
PRIORITY APPLN. INFO.: DE 2003-10315917 A 20030408
WO 2004-EP3567 W 20040403
OTHER SOURCE(S): MARPAT 141:370546
GI



I

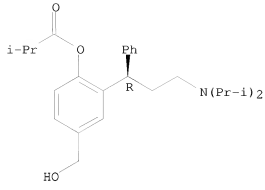
AB The invention relates to a compound of general formula (I) wherein A represents deuterium or hydrogen, R represents a group selected from C1-6 alkyl, C3-10 cycloalkyl or Ph, which can be substituted by C1-3 alkoxy, fluorine, chlorine, bromine, iodine, nitro, amino, hydroxyl, oxo, mercapto or deuterium. The C atom marked with a * (star) can be present in an (R) configuration, in an (S)-configuration or a mixture thereof. The invention is characterized in that the above-mentioned compds. are free bases with a degree of purity of more than 97 wt %. The invention also relates to a method for the production of highly pure compds. of general formula (I) and to the use thereof in the production of medicaments. Thus (R)-2-[3-(Diisopropylamino)-1-phenylpropyl]-4-(hydroxymethyl)phenol was reacted with isobutyric acid chloride to form fesoterodine. Fesoterodine was purified via the formation of its fumaric acid salt. 1.5 G of the highly pure fesoterodine was mixed with 8.5 g silicone adhesive Bio-PSA 7-4300 and applied to a foil in order to prepare a transdermal delivery system.

IT 286930-02-7P, Fesoterodine
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

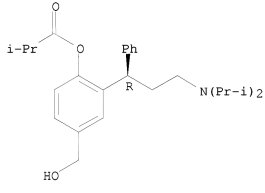


IT 777075-72-6P
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)
RN 777075-72-6 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, carbonate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

Absolute stereochemistry. Rotation (+).



CM 2

CRN 463-79-6
CMF C H2 O3

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 30 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:878163 CAPLUS
DOCUMENT NUMBER: 141:360690
TITLE: Combination therapies of asthma, COPD, allergic and infectious rhinitis
INVENTOR(S): Richards, Ivan Michael; Manning, Robert Everett
PATENT ASSIGNEE(S): Pfizer Inc, USA
SOURCE: U.S. Pat. Appl. Publ., 20 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040209916	A1	20041021	US 2004-824315	20040413
CA 2522666	A1	20041028	CA 2004-2522666	20040405
WO 2004091596	A2	20041028	WO 2004-IB1170	20040405
WO 2004091596	A3	20050407		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1620083	A2	20060201	EP 2004-725755	20040405
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
BR 2004009492	A	20060502	BR 2004-9492	20040405
JP 2006523674	T	20061019	JP 2006-506483	20040405
MX 2005PA11225	A	20051214	MX 2005-PA11225	20051018
PRIORITY APPLN. INFO.:			US 2003-463975P P	20030418
			WO 2004-IB1170 W	20040405

OTHER SOURCE(S): MARPAT 141:360690

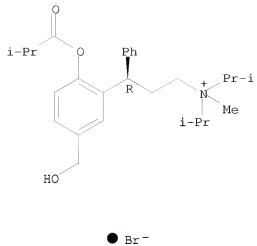
AB The invention is directed to methods of treating asthma, COPD, allergic rhinitis, and infectious rhinitis by administering a first pharmaceutical agent including one or more compds. selected from the quaternary ammonium compds. (Markush structures are included) and a second pharmaceutical agent including one or more pharmaceutical agents selected from Adenosine A2a Receptor Agonists, D2-Dopamine Receptor Agonists, Phosphodiesterase Inhibitors (PDE's), corticosteroids, norepinephrine reuptake inhibitors, 4-hydroxy-7-[2-[2-[3-[2-phenylethoxy]-propylsulfonyl]ethylamino]ethyl]-1,3-benzothiazol-2(3H)-one, and pharmaceutically acceptable salts thereof, and non-quaternized antimuscarinic compds.

IT 518360-93-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapies of asthma, COPD, allergic and infectious rhinitis)

RN 518360-93-5 CAPLUS

CN Benzenepropanaminium, 5-(hydroxymethyl)-N-methyl-N,N-bis(1-methylethyl)-2-(2-methyl-1-oxopropoxy)-γ-phenyl-, bromide, (γR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



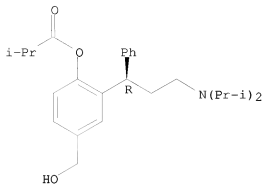
L7 ANSWER 31 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:875348 CAPLUS
DOCUMENT NUMBER: 142:147630
TITLE: Fesoterodine, an advanced antimuscarinic for the treatment of overactive bladder: a safety update
AUTHOR(S): Cole, Patrick
CORPORATE SOURCE: Medical Information Dept., Prous Science, Barcelona, 08080, Spain
SOURCE: Drugs of the Future (2004), 29(7), 715-720
CODEN: DRFUD4; ISSN: 0377-8282
PUBLISHER: Prous Science
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review. The pillars of pharmacotherapy for overactive bladder (OAB) are antimuscarinic agents which inhibit bladder smooth muscle contractions through interference with acetylcholine action on muscarinic receptors of the detrusor smooth muscle. Despite the availability of different antimuscarinic compds., physicians and patients remain dissatisfied with current treatments due to adverse events and/or insufficient efficacy. Therefore, new agents with improved safety and efficacy profiles are needed for a more effective treatment of overactive bladder. Fesoterodine is a novel bladder-selective muscarinic antagonist that has shown potent antimuscarinic activity in vitro and in vivo. In multiple investigations, the agent has been shown to be safe and well tolerated in subjects of different ethnic origin, age and gender; in poor and extensive CYP2D6 metabolizers; in subjects taking concomitant medication inhibiting CYP3A4; in fed or fasted states; and in those suffering from hepatic impairment. No clin. relevant changes in heart rate, blood pressure, ECG parameters or laboratory analyses have been seen with therapeutic doses of fesoterodine in these studies. Furthermore, in a phase II clin. trial in patients with OAB, fesoterodine demonstrated rapid and significant efficacy on a variety of endpoints. The results of this trial encouraged the manufacturer (SCHWARZ PHARMA) to initiate a phase III clin. trial program for fesoterodine.

IT 286930-02-7, Fesoterodine
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(advanced antimuscarinic fesoterodine for treatment of overactive bladder)

RN 286930-02-7 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 32 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	2004:872676 CAPLUS
DOCUMENT NUMBER:	141:337790
TITLE:	Transdermal administration of (R)-3,3-diphenylpropylamine monoesters
INVENTOR(S):	Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael; Drews, Roland
PATENT ASSIGNEE(S):	Schwarz Pharma Ag, Germany
SOURCE:	PCT Int. Appl., 68 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	German
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089346	A1	20041021	WO 2004-EP3574	20040403
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10315878	A1	20041104	DE 2003-10315878	20030408
AU 2004228927	A1	20041021	AU 2004-228927	20040403
AU 2004228927	B2	20070517		
CA 2505780	A1	20041021	CA 2004-2505780	20040403
EP 1530461	A1	20050518	EP 2004-725614	20040403
EP 1530461	B1	20071003		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004006212	A	20050816	BR 2004-6212	20040403
CN 1767820	A	20060503	CN 2004-80009176	20040403
JP 2006522759	T	20061005	JP 2006-504992	20040403
NZ 539214	A	20070223	NZ 2004-539214	20040403
AT 374605	T	20071015	AT 2004-725614	20040403
ES 2295848	T3	20080416	ES 2004-725614	20040403

MX 2005PA03561	A	20050617	MX 2005-PA3561		20050401
ZA 2005002681	A	20051013	ZA 2005-2681		20050401
US 20060029673	A1	20060209	US 2005-533683		20050426
KR 2006003334	A	20060110	KR 2005-718006		20050926
NO 2005004644	A	20051010	NO 2005-4644		20051010
PRIORITY APPLN. INFO.:			DE 2003-10315878	A	20030408
			WO 2004-EP3574	W	20040403

OTHER SOURCE(S): MARPAT 141:337790

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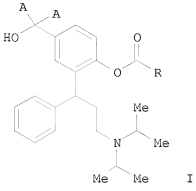


I

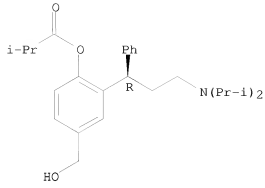
AB The invention relates to a device for transdermally administering a compound of formula (I), wherein A represents hydrogen or deuterium, R represents a group selected among C1-6 alkyl, C3-10 cycloalkyl, or Ph, each of which can be substituted by C1-3 alkoxy, fluoride, chlorine, bromine, iodine, nitro, amino, hydroxy, oxo, mercapto, or deuterium, the C atom marked by * (asterisk) being provided in the R configuration. The invention is characterized in that the compound of general formula (I) is provided in a polymer matrix and is released at a dose of 0.5 to 20 mg per day through human skin. The invention further relates to the use of said compds. of formula (I) for producing transdermal medicaments. Thus a silicone-based transdermal system was prepared by the hot-melt process. 8.5 G of an adhesive mixture composed of BIO-PSA 7-4300 from Dow-Corning and 5 weight/weight% ozokerite or ceresin was heated to 150°C for 20 min until a homogeneous melt was formed. 1.5 G fesoterodine were added to the melt; the mixture was kept for addnl. 5 min at 150°C; followed by application onto a preheated foil. 5 Cm2 samples were used for dissoln. studies.

IT 286930-02-7P, Fesoterodine
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(transdermal administration of (R)-3,3-diphenylpropylamine monoesters)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

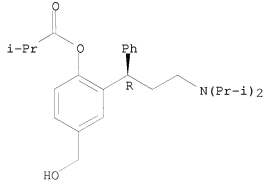
Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 33 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:761399 CAPLUS
DOCUMENT NUMBER: 141:254396
TITLE: Fesoterodine a new effective and well-tolerated antimuscarinic for the treatment of urgency-frequency syndrome: results of a phase 2 controlled study
CORPORATE SOURCE: Chapple C1, Royal Hallamshire Hospital, UK
SOURCE: Neurourology and Urodynamics (2004), 23(5/6), 598-599
CODEN: NEUREM; ISSN: 0733-2467
PUBLISHER: Wiley-Liss, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Fesoterodine as new effective and well-tolerated antimuscarinic for the treatment of urgency-frequency syndrome is studied here.
IT 286930-02-7, Fesoterodine
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antimuscarinic fesoterodine for treatment of urgency-frequency syndrome)
RN 286930-02-7 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L7 ANSWER 34 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:993805 CAPLUS
DOCUMENT NUMBER: 140:331551
TITLE: Fesoterodine: Treatment of urinary incontinence

muscarinic M3 antagonist

AUTHOR(S): Sorbera, L. A.; Castaner, J.; Lesson, P. A.

CORPORATE SOURCE: Prous Science, Barcelona, 08080, Spain

SOURCE: Drugs of the Future (2003), 28(7), 647-651
CODEN: DRFUD4; ISSN: 0377-8282

PUBLISHER: Prous Science

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

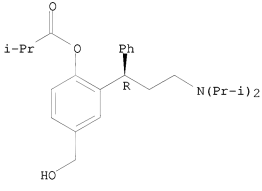
AB A review. Urinary incontinence and overactive bladder are extremely common disorders affecting up to 12 and 20 million adults in the U.S., resp. Current pharmacotherapy includes peripherally acting compds. which modulate bladder smooth muscle contraction or centrally acting agents which modulate the neurol. control of urination. Anticholinergic agents inhibit bladder smooth muscle contraction through interference with acetylcholine action on muscarinic receptors on detrusor smooth muscle. However, the first anticholinergic agents were associated with a high rate of adverse events due to nonselectivity and targeting of several muscarinic subtypes and thus other organs. The search for novel, more bladder-selective antimuscarinic agents with better tolerability was initiated. Fesoterodine is a novel selective muscarinic M3 receptor antagonist that has shown potent antimuscarinic activity in vitro and in vivo and has been selected for further development as a treatment for urinary incontinence and overactive bladder.

IT 286930-02-7, Fesoterodine
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fesoterodine treatment of urinary incontinence as muscarinic M3 antagonist)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 35 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:950829 CAPLUS

DOCUMENT NUMBER: 140:13084

TITLE: Combination of selected opioids with other active substances for use in the therapy of urinary incontinence

INVENTOR(S): Christoph, Thomas

PATENT ASSIGNEE(S): Grunenthal G.m.b.H., Germany

SOURCE: PCT Int. Appl., 126 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003099268	A1	20031204	WO 2003-EP5529	20030527
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10224107	A1	20031211	DE 2002-10224107	20020529
AU 2003240717	A1	20031212	AU 2003-240717	20030527
EP 1507520	A1	20050223	EP 2003-730120	20030527
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 20050137194	A1	20050623	US 2004-998164	20041129
US 20060168942	A1	20060803	US 2005-545901	20050817
US 7246486	B2	20070724		

PRIORITY APPLN. INFO.: DE 2002-10224107 A 20020529
WO 2003-EP5529 W 20030527

OTHER SOURCE(S): MARPAT 140:13084

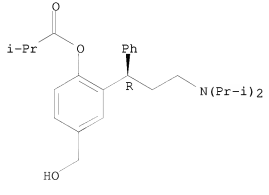
AB The invention discloses the use of a combination of opioids (e.g. tramadol) with other active substances for producing a drug for the treatment of urinary urgency or urinary incontinence. The invention also relates to corresponding medicaments and to a method for treating urinary urgency or urinary incontinence.

IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(opioid combination with other active substances for treatment of urinary incontinence)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 36 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

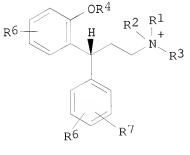
ACCESSION NUMBER: 2003:335062 CAPLUS
DOCUMENT NUMBER: 138:353732
TITLE: Quaternary ammonium compounds and their use as antimuscarinic agents
INVENTOR(S): Richards, Ivan; Cammarata, Sue K.; Wegner, Craig D.; Hawley, Michael; Warchol, Mark P.; Kontny, Mark; Morozowich, Walter; Kolbasa, Karen P.; Moon, Malcolm W.; Bonafoux, Dominique; Wolfson, Sergey G.; Lennon, Patrick J.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003035599	A1	20030501	WO 2002-US34529	20021025
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2464223	A1	20030501	CA 2002-2464223	20021025
AU 2002359314	A1	20030506	AU 2002-359314	20021025
US 20030158176	A1	20030821	US 2002-280906	20021025
US 6890920	B2	20050510		
BR 2002006207	A	20031223	BR 2002-6207	20021025
EP 1461306	A1	20040929	EP 2002-793840	20021025
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005524605	T	20050818	JP 2003-538115	20021025
JP 3981357	B2	20070926		
NO 2003002938	A	20030825	NO 2003-2938	20030626
MX 2004PA03865	A	20040708	MX 2004-PA3865	20040423
US 20050148672	A1	20050707	US 2005-74914	20050308
US 7439397	B2	20081021		

PRIORITY APPLN. INFO.:

US 2001-348930P	P	20011026
US 2002-361979P	P	20020306
US 2002-391521P	P	20020625
US 2002-280906	A1	20021025
WO 2002-US34529	W	20021025

OTHER SOURCE(S): MARPAT 138:353732
GI



I

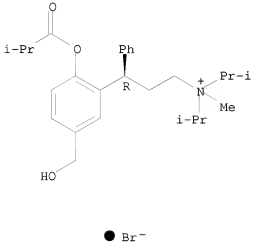
AB Novel quaternary ammonium compds. I [R1-R3 = (un)substituted alkyl; NR1R2, NR2R3, NR1R3 = heterocyclic; R4 = H, Me,acyl, alkoxycarbonyl, (un)substituted NH2; R5-R7 = H, OMe, OH, CONH2, SO2NH2, F, Cl, Br, I, CF3, (un)substituted alkyl; X = anion of a pharmaceutically acceptable acid] were prepared for use as antimuscarinic agents. Thus, tolterodine tartrate was converted to the free base and quaternized with MeI to give (R)-5,2-Me(OH)C6H3CHPhCH2CH2N+(CHMe2)2Me I- which has high affinity, but little selectivity for M1-M5 muscarinic receptors.

IT 518360-93-5P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn.of diarylpropylammonium salts as antimuscarinic agents)

RN 518360-93-5 CAPLUS

CN Benzenepropanaminium, 5-(hydroxymethyl)-N-methyl-N,N-bis(1-methylethyl)-2-(2-methyl-1-oxopropoxy)-γ-phenyl-, bromide, (γR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



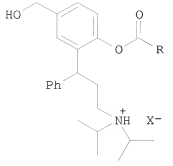
● Br^-

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 37 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:449738 CAPLUS
DOCUMENT NUMBER: 135:61141
TITLE: Preparation of stable salts of 2-(3-diisopropylamino-1-phenylpropyl)-4-hydroxymethylphenyl esters.
INVENTOR(S): Meese, Claus
PATENT ASSIGNEE(S): Schwarz Pharma A.-G., Germany
SOURCE: Ger. Offen., 22 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19955190	A1	20010621	DE 1999-19955190	19991116
DE 29923134	U1	20000803	DE 1999-29923134	19991116
CA 2389749	A1	20010525	CA 2000-2389749	20001115
WO 2001035957	A2	20010525	WO 2000-EP11309	20001115

WO 2001035957 A3 20011227
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
AU 2001026667 A 20010530 AU 2001-26667 20001115
AU 778132 B2 20041118
BR 2000015610 A 20020730 BR 2000-15610 20001115
EP 1230209 A2 20020814 EP 2000-989857 20001115
EP 1230209 B1 20050112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
HU 2002004034 A2 20030328 HU 2002-4034 20001115
HU 2002004034 A3 20041228
JP 2003514018 T 20030415 JP 2001-537950 20001115
JP 4083431 B2 20080430
NZ 519230 A 20041126 NZ 2000-519230 20001115
EP 1481964 A1 20041201 EP 2004-18487 20001115
EP 1481964 B1 20060823
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
AT 286872 T 20050115 AT 2000-989857 20001115
PT 1230209 T 20050531 PT 2000-989857 20001115
ES 2236032 T3 20050716 ES 2000-989857 20001115
CN 1215045 C 20050817 CN 2000-815705 20001115
EP 1690536 A2 20060816 EP 2006-11207 20001115
EP 1690536 A3 20060823
EP 1690536 B1 20080514
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
AT 337293 T 20060915 AT 2004-18487 20001115
ES 2270240 T3 20070401 ES 2004-18487 20001115
AT 395056 T 20080515 AT 2006-11207 20001115
ES 2303708 T3 20080816 ES 2006-11207 20001115
ZA 2002003315 A 20030725 ZA 2002-3315 20020425
MX 2002PA04603 A 20040910 MX 2002-PA4603 20020508
US 6858650 B1 20050222 US 2002-130214 20020514
NO 2002002314 A 20020515 NO 2002-2314 20020515
NO 323920 B1 20070723
HK 1045148 A1 20050506 HK 2002-106545 20020905
HK 1067114 A1 20061020 HK 2004-110231 20020905
NO 2006005380 A 20020515 NO 2006-5380 20061122
JP 2007137895 A 20070607 JP 2007-42774 20070222
PRIORITY APPLN. INFO.: DE 1999-19955190 IA 19991116
EP 2000-989857 A3 20001115
EP 2004-18487 A3 20001115
JP 2001-537950 A3 20001115
WO 2000-EP11309 W 20001115
HK 2002-106545 A 20020905
OTHER SOURCE(S): MARPAT 135:61141
GI



I

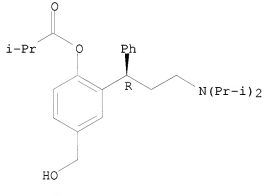
AB Title compds. [I; R = alkyl, cycloalkyl, (substituted) Ph; X- = residue of a physiol. acceptable (in)organic acid], were prepared Thus, (R)-2-(3-diisopropylamino-1-phenylpropyl)-4-hydroxymethylphenyl isobutyrate (II) (preparation given) in 2-butanone was treated with fumaric acid under warming to give 83.1% II.hydrogen fumarate.

IT 286930-02-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of stable salts of 2-(3-diisopropylamino-1-phenylpropyl)-4-hydroxymethylphenyl esters)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 286930-03-8P 345663-07-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of stable salts of 2-(3-diisopropylamino-1-phenylpropyl)-4-hydroxymethylphenyl esters)

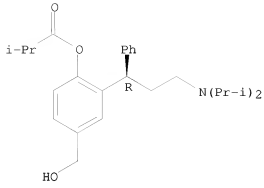
RN 286930-03-8 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

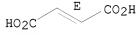
Absolute stereochemistry. Rotation (+).



CM 2

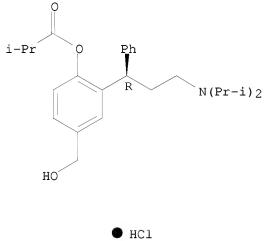
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 345663-07-2 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



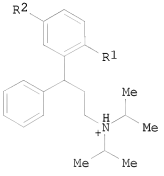
● HCl

L7 ANSWER 38 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:533448 CAPLUS
DOCUMENT NUMBER: 133:155419
TITLE: Stable salts of novel derivatives of 3,3-diphenylpropylamines
PATENT ASSIGNEE(S): Schwarz Pharma A.-G., Germany
SOURCE: Ger. Gebrauchsmusterschrift, 37 pp.
CODEN: GGXXFR
DOCUMENT TYPE: Patent

LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 29923134	U1	20000803	DE 1999-29923134	19991116
DE 19955190	A1	20010621	DE 1999-19955190	19991116

PRIORITY APPLN. INFO.: DE 1999-19955190 IA 19991116
OTHER SOURCE(S): MARPAT 133:155419
GI



I

AB 3,3-Diphenylpropylamine salts I [R1 = RCO2; R = C1-6 alkyl, C3-10 cycloalkyl, (substituted) Ph; R2 = CH2OH; X = inorg. or organic acid] are prepared for use as prodrugs of agents for treatment of urinary incontinence and other spasmogenic disorders. I show improved absorption through biol. membranes and improved metabolic patterns and are easily crystallized I are prepared from I free base (R1 = PhCH2O, R2 = CO2Me) by debenzylation, reduction, acylation, and combination with HX. Thus, R-(-)-I-HCl (R1 = PhCH2O, R2 = CO2H) was esterified by refluxing in acidic MeOH, the ester was reduced with LiAlH4, the resulting carbinol was reduced with Raney Ni/H2, and the product [R-(+)-I free base, R = CHMe2] was converted to its H fumarate salt by heating with equimolar fumaric acid in 2-butanone; the salt was crystallized by addition of cyclohexanone and cooling to 0°.

IT 286930-03-8P 286930-04-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(stable salts of novel derivs. of diphenylpropylamines)

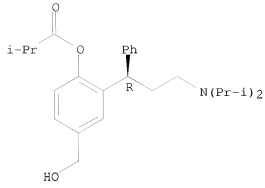
RN 286930-03-8 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

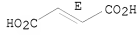
Absolute stereochemistry. Rotation (+).



CM 2

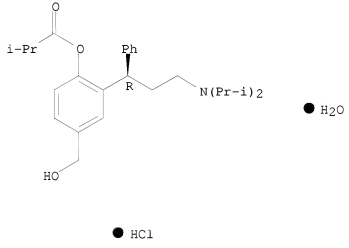
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 286930-04-9 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, hydrochloride, hydrate (1:1:1) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L7 ANSWER 39 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:736261 CAPLUS
DOCUMENT NUMBER: 131:336818
TITLE: Preparation of 3,3-diphenylpropylamines as antimuscarinic agents.
INVENTOR(S): Sparf, Bengt; Meese, Claus O.
PATENT ASSIGNEE(S): Schwarz Pharma AG, Germany
SOURCE: Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 957073	A1	19991117	EP 1998-108608	19980512
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2328920	A1	19991118	CA 1999-2328920	19990511
CA 2328920	C	20080415		
WO 9958478	A1	19991118	WO 1999-EP3212	19990511
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9941412	A	19991129	AU 1999-41412	19990511
AU 748057	B2	20020530		
BR 9910406	A	20010109	BR 1999-10406	19990511
EP 1077912	A1	20010228	EP 1999-924929	19990511
EP 1077912	B1	20020703		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
HU 2001000779	A2	20010828	HU 2001-779	19990511
TR 200003319	T2	20011221	TR 2000-3319	19990511
AT 220056	T	20020715	AT 1999-924929	19990511
EP 1254890	A1	20021106	EP 2002-13481	19990511
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
NZ 507487	A	20021126	NZ 1999-507487	19990511
PT 1077912	T	20021129	PT 1999-924929	19990511
ES 2181443	T3	20030216	ES 1999-924929	19990511
RU 2199525	C2	20030227	RU 2000-125813	19990511
JP 2003519079	T	20030617	JP 2000-548284	19990511
JP 3929702	B2	20070613		
CN 1207268	C	20050622	CN 1999-806038	19990511
CN 1690041	A	20051102	CN 2005-10070299	19990511
CZ 296605	B6	20060412	CZ 2000-3774	19990511
PL 195581	B1	20071031	PL 1999-347823	19990511
SK 286052	B6	20080205	SK 2000-1547	19990511
CZ 299721	B6	20081029	CZ 2006-29	19990511
ZA 2000005728	A	20010305	ZA 2000-5728	20001017
NO 2000005669	A	20010111	NO 2000-5669	20001110
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US 7230030	B2	20070612		
US 20060270738	A1	20061130	US 2005-201756	20050810
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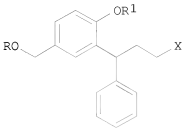
OTHER SOURCE(S): MARPAT 131:336818

GI



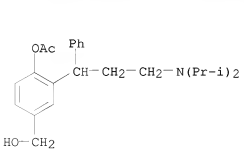
I

AB Title compds. (I; R = H, Me, Et, Pr, Me2CH, Bu, iso-Bu, pentyl, hexyl, PhCH2, alkyl, CHO, Ac, propionyl, isobutyryl, aminocarbonyl, aminosulfonyl, MeO2C, etc.; R1 = H, Me, Et, Pr, Me2CH, Bu, iso-Bu, pentyl, hexyl, PhCH2, alkyl, phenylalkyl; Z = NR8R9; R8, R9 = hydrocarbyl; NR8R9 = atoms to form a ring; with a proviso), were prepared as antimuscarinic agents (no data). Thus, 4-bromophenol, cinnamoyl chloride, and Et3N were stirred 18 h in CH2Cl2 to give 99.8% 3-phenylacrylic acid 4-bromophenyl ester. This was refluxed 2 h with HOAc/H2SO4 to give 43.8% 6-bromo-4-phenylchroman-2-one. The latter was refluxed with benzyl bromide, K2CO3, and NaI in acetone/MeOH to give 102.1% crude Me 3-(2-benzyloxy-5-bromophenyl)-3-phenylpropionate, which was stirred with LiAlH4 in THF to give 96.3% 3-(2-benzyloxy-5-bromophenyl)-3-phenylpropan-1-ol. This was stirred with tosyl chloride and pyridine in CH2Cl2 for 18 h to give 93.6% tosylate ester, which was refluxed 97 h with diisopropylamine in MeCN to give 77.9% [3-(2-benzyloxy-5-bromophenyl)-3-phenylpropyl]diisopropylamine. The latter was converted in several steps to 2-(3-diisopropylamino-1-phenylpropyl)-4-hydroxymethylphenol, which was acylated to give I.

IT 250214-41-6P 250214-42-7P 250214-43-8P
250214-44-9P 250214-45-0P 250214-46-1P
250214-47-2P 250214-48-3P 250214-49-4P
250214-50-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3,3-diphenylpropylamines as antimuscarinic agents)

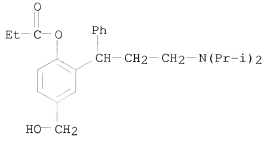
RN 250214-41-6 CAPLUS

CN Benzenemethanol, 4-(acetyloxy)-3-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]- (CA INDEX NAME)

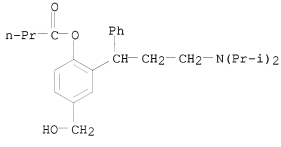


RN 250214-42-7 CAPLUS

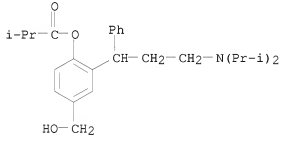
CN Benzenemethanol, 3-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(1-oxopropoxy)- (CA INDEX NAME)



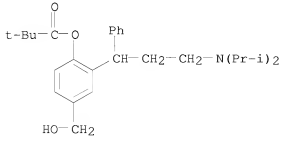
RN 250214-43-8 CAPLUS
CN Butanoic acid, 2-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)



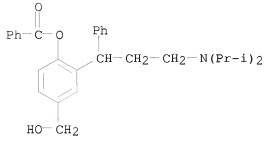
RN 250214-44-9 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)



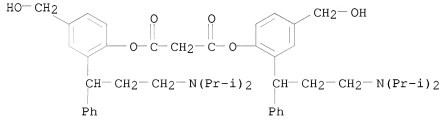
RN 250214-45-0 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 2-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)



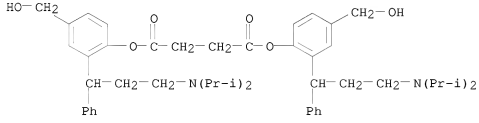
RN 250214-46-1 CAPLUS
CN Benzenemethanol, 4-(benzoyloxy)-3-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]- (CA INDEX NAME)



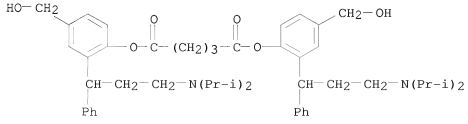
RN 250214-47-2 CAPLUS
CN Propanedioic acid, 1,3-bis[2-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl] ester (CA INDEX NAME)



RN 250214-48-3 CAPLUS
CN Butanedioic acid, 1,4-bis[2-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl] ester (CA INDEX NAME)

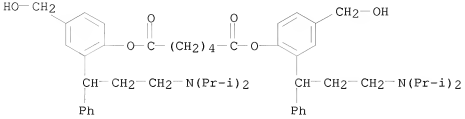


RN 250214-49-4 CAPLUS
CN Pentanedioic acid, 1,5-bis[2-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl] ester (CA INDEX NAME)



RN 250214-50-7 CAPLUS

CN Hexanedioic acid, 1,6-bis[2-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl] ester (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT